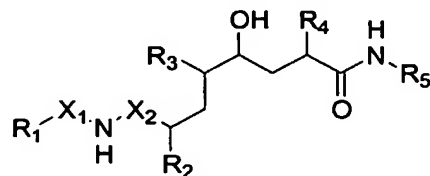


CLAIMS

We claim:

1. A method of treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering a therapeutically effective amount of a compound of Formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical,

wherein one of the radicals R<sub>A</sub> and R<sub>B</sub> is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R<sub>C</sub> is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R<sub>D</sub> is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is an aliphatic radical,

R<sub>3</sub> is unsubstituted or aliphatically substituted amino,

R<sub>4</sub> is an aliphatic or araliphatic radical, and

R<sub>5</sub> is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphaticyl radical bonded via a carbon atom.

2. A method of treating Alzheimer's disease in a subject in need of such treatment comprising administering to the subject a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

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3. A method of treating Alzheimer's disease by modulating the activity of beta amyloid converting enzyme, comprising administering to a subject in need of such treatment a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

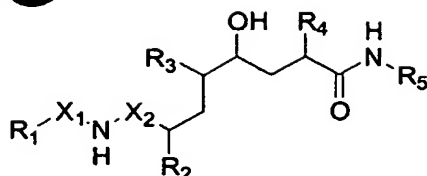
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4. The method according to claim 1, further comprising the administration of a P-gp inhibitor, or a pharmaceutically acceptable salt thereof.

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5. A method of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof:

35



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical  
 5 or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,  
 10

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphaticyl radical bonded via a carbon atom.

6. The method according to any of claim 1-5 wherein the compound of formula (I) is selected from the group consisting of:

(2S,4S,5S,7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2S,4S,5S,7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -3-methoxy-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -4-methoxy-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -3- (3-methoxypropoxy) -benzamide;

5 (2S, 4S, 5S, 7R) -N- (7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl) -3-methoxy-2- (3-methoxypropoxy) -benzamide;

(2R, 4S, 5S, 7R) -1-Benzyl-1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

10 (2R, 4S, 5S, 7R) -1- (2-Methoxyethyl) -1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

(2R, 4S, 5S, 7R) -1-Pyridin-2-yl-1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

15 (2R, 4S, 5S, 7R) -1- (2-Methoxybenzyl) -1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -2- (3-methoxypropoxy) -benzamide;

20 (2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-methoxypropoxy) -benzamide;

25 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-propoxy-benzamide;

30 (2S, 4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (2-methoxyethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (2-methoxyethoxy) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-methoxy-2- (3-methoxypropoxy) -benzamide;

5 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-methoxy-3- (3-methoxypropoxy) -benzamide;

4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (propoxymethyl) -benzamide;

10 4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-acetamido-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (acetamido) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybut-2-enoxy) -benzamide;

15 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4-methyl-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (3-methoxypropoxy) -nicotinamide;

20 (2S, 4S, 5S, 7S) -N- [4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl] -3- (4-methoxybutoxy) -pyridine-2-carboxylic acid amide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-hydroxy-benzamide;

25 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (methoxymethoxy) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (3-methoxypropoxy) -benzamide;

30 (2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -benzamide;

(2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (2-methoxyethoxy) - benzamide;

5 (2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ethylcarbamoyl) -nonyl] -2- (3-methoxypropoxy) - nicotinamide;

(2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -3- (4-methoxybutoxy) - pyridine-2-carboxylic acid amide;

10 (2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (4-methoxybut-2-enoxy) - benzamide;

(2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -4-  
15 methyl-benzamide;

(2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -methyl-nonyl] -2- (5-methoxypentyloxy) - benzamide;

(2S,4S,5S,7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -  
20 benzamide;

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (morpholin-4-ylmethyl) - benzamide;

25 (2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl-2- (4-methoxybutoxy) -4- [2- (morpholin-4-yl) -ethoxy] - benzamide;

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4- [3- (dimethylamino) -propoxy] -2- (4-methoxybutoxy) - benzamide;  
30

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (piperidin-1-yl) methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (pyrrolidin-1-yl)methyl-benzamide;

5 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (2-piperidin-1-ylethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-dimethylaminomethyl-2- (4-methoxybutoxy) -benzamide;

10 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (4-methylpiperazin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4- (4-acetylpiperazin-1-yl)methyl-2- (4-methoxybutoxy) -benzamide;

15

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-aminopropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (2-aminoethoxy) -benzamide;

20 (2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (4-acetylpiperazin-1-yl) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (morpholin-4-yl) -ethyl] -benzamide;

25

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-dimethylaminopropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [3- (morpholin-4-yl) -propoxy] -benzamide;

30

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (morpholin-4-yl) -ethoxy] -benzamide;

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2 (4-methoxypiperidin-1-yl) -ethyl] -benzamide;

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2 (4-acetylpiperazin-1-yl) -ethyl] -benzamide;

(2S,4S,5S,7S) -4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1- [2- (3-methoxypropoxy) -benzyl] amide;

(2S,4S,5S,7S) -4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1- [3- (3-methoxypropoxy) -benzyl] amide;

(2S,4S,5S,7S) -4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1- [2- (4-methoxybutoxy) -benzyl] amide;

(2S,4S,5S,7S) -4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1- [2- (5-methoxypentyloxy) -benzyl] amide;

(2S,4S,5S,7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -N4-methyl-2- (4-methoxybutoxy) -terephthaldiamide;

(2S,4S,5S,7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -N4- [(2-morpholin-4-yl) -ethyl] -2- (4-methoxybutoxy) -terephthaldiamide;

(2S,4S,5S,7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -terephthaldiamide;

(2S,4S,5S,7S) -N4- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -3- (4-methoxybutoxy) -terephthalmic acid;

(2S,4S,5S,7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-butylcarbamoylmethoxy-2- (4-methoxybutoxy) -benzamide;

(2S,4S,5S,7S) - [4- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl) -3- (4-methoxybutoxy) -phenoxy] -acetic acid;

(2S,4S,5S,7S) -N- {4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- [2- (morpholin-4-yl) -ethylcarbamoyl] -nonyl} -2- (4-methoxybutoxy) -4- [2- (morpholin-4-yl) -ethylcarbamoylmethoxy] -benzamide;



(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (1H-tetrazol-5-ylmethoxy) -benzamide;

5 (2S, 4S, 5S, 7S, 2R') -N- [4-Amino-7- (2'-methylcarbamoyl-propylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7- [2- (dimethylaminocarbamoyl) -ethylcarbamoyl] -5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -benzamide;

10 (2S, 4S, 5S, 7S) -N- [4-Amino-7- (3-carbamoylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (4-methoxybutoxy) -benzamide;

15 (2S, 4S, 5S, 7S) -N- [4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- {4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- [3- (morpholin-4-yl) -3-oxopropylcarbamoyl] -nonyl} -2- (4-methoxybutoxy) -benzamide;

20 (2S, 4S, 5S, 7S) -N- {7- [2- (4-Acetylpiperidin-1-yl) -ethylcarbamoyl] -4-amino-5-hydroxy-2-isopropyl-8-methyl-nonyl} -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- (2-thiomorpholin-4-ylethylcarbamoyl) -methyl-nonyl] -2- (4-methoxybutoxy) -benzamide;

25 (2S, 4S, 5S, 7S) -N- (4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (2-morpholin-4-ylmethoxy) -benzamide;

30 (2S, 4S, 5S, 7S) -N- (4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (morpholin-4-ylmethyl) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (2-morpholin-4-ylethoxy) -benzamide;

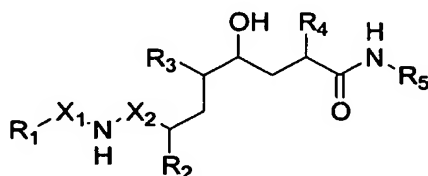
(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7R)-N-[4-Amino-5-hydroxy-2-methyl-7-[(2-morpholin-4-ylethyl)-carbamoyl]-octyl]-2-(3-methoxypropoxy)-benzamide; and

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or pharmaceutically acceptable salts thereof.

7. A method of treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering a therapeutically effective amount of a composition comprising one or more pharmaceutically acceptable carriers and a compound of Formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

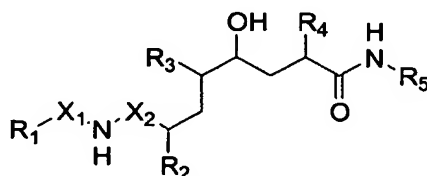
$R_3$  is unsubstituted or aliphatically substituted amino,

5  $R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

10

8. Use of a compound of Formula (I) in the manufacture of a medicament for the treatment of conditions selected from the group consisting of Alzheimer's disease, mild cognitive impairment (MCI) Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or  
15  
20 diffuse Lewy body type of Alzheimer's disease:



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,  
25

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and  
30 the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

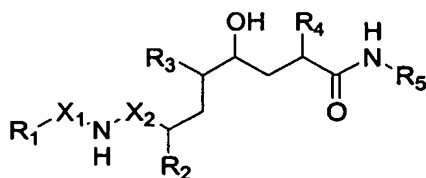
$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphaticyl radical bonded via a carbon atom.

9. A method for inhibiting beta-secretase activity, comprising contacting an effective amount for inhibition of a compound of formula (I):



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or

heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is

5 methylene,

$R_2$  is an aliphatic radical,

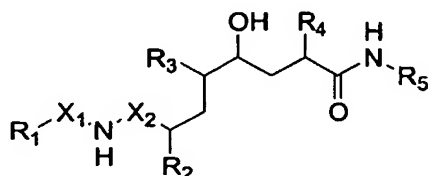
$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

10  $R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphaticyl radical bonded via a carbon atom.

15 10. A method for inhibiting cleavage of an amyloid precursor protein (APP) isotype at a site in the APP isotype that is susceptible to cleavage, comprising contacting said APP isotype with an effective cleavage inhibitory amount of a compound of formula (I):

20



(I)

25 wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

30 wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or

heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is

5 methylene,

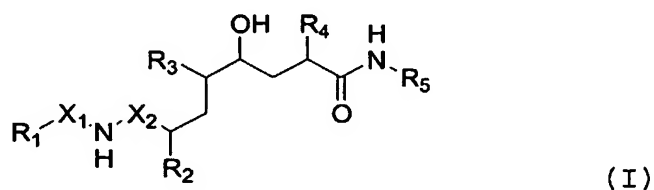
$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

10  $R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

11. A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said  
15 cell an effective inhibitory amount of a compound of formula (I):



20

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

25 wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

30  $R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,  
one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is  
methylene,

$R_2$  is an aliphatic radical,

5  $R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

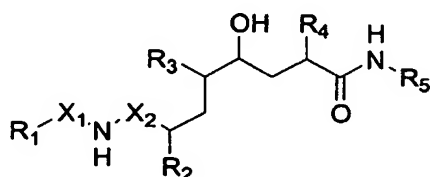
$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or  
an optionally hydrogenated and/or oxo-substituted heteroaryl  
radical or an optionally hydrogenated and/or oxo-substituted  
10 heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

12. The method of claim 11, wherein the cell is an animal  
cell.

15 13. The method of claim 12, wherein the animal cell is a  
mammalian cell.

14. The method of claim 13, wherein the mammalian cell is  
human.

20 15. A composition comprising beta-secretase complexed with  
a compound of formula (I):



(I)

25 wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl  
radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical  
or a 1- $R_D$ -indol-3-yl radical,

30 wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or  
heterocycloaliphatic-aliphatic radical or free or aliphatically,  
araliphatically or heteroaraliphatically etherified hydroxy and

the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or  
 5 heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,  
 one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

10  $R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

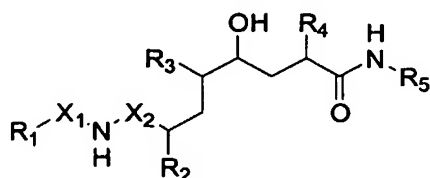
$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl  
 15 radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

16. A method for producing a beta-secretase complex comprising the composition of claim 15.

20 17. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibiting amount of a compound of formula (I):

25



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical  
 30 or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically,



araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

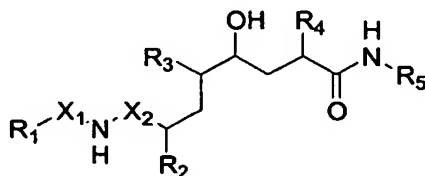
$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

18. The method of claim 17, wherein said animal is a human.

19. A method for treating or preventing a disease characterized by beta-amyloid deposits on or in the brain, comprising administering to a subject in need of such treatment or prevention an effective therapeutic amount of a compound of formula (I):



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

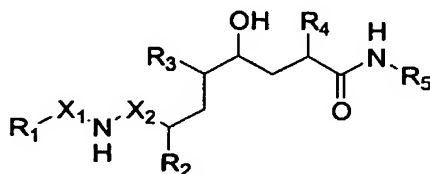
$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

20. A method of treatment according to any of claims 1-5, further comprising administration of one or more therapeutic agents selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta peptide.

21. Use of a compound of Formula (I):



(I)

wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or  
5 heterocycloaliphatic-aliphatic radical or free or aliphatically,  
araliphatically or heteroaraliphatically etherified hydroxy and  
the other is hydrogen, an aliphatic radical or free or  
esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or  
10 aliphatically, araliphatically, heterearaliphatically or  
heterearylaliphatically etherified hydroxy or an unsubstituted  
or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,  
one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is  
15 methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

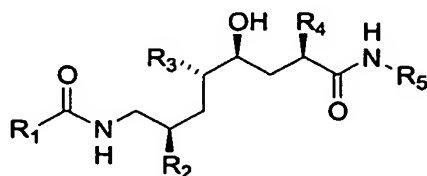
$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or  
20 an optionally hydrogenated and/or oxo-substituted heteroaryl  
radical or an optionally hydrogenated and/or oxo-substituted  
heteroaryl or heteroaliphatyl radical bonded via a carbon atom;

for the manufacture of a medicament for the treatment of  
conditions selected from the group consisting of: Alzheimer's  
25 disease, mild cognitive impairment (MCI) Down's syndrome,  
Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-  
Type, cerebral amyloid angiopathy, degenerative dementias,  
including dementias of mixed vascular and degenerative origin,  
dementia associated with Parkinson's disease, dementia  
30 associated with progressive supranuclear palsy, dementia  
associated with cortical basal degeneration, or diffuse Lewy  
body type of Alzheimer's disease.

22. A method of treating or preventing Alzheimer's disease  
35 in a subject in need of such treatment comprising administering

a therapeutically effective amount of a compound of Formula (I-A) or a pharmaceutically acceptable salt thereof:



(I-A)

5

wherein  $R_1$  is a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical or a 3- $R_A$ -pyridin-2-yl radical, wherein

$R_A$ , is  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, such as propyloxymethyl, morpholino- $C_1$ - $C_4$  alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkenyloxy, such as 4-methoxy-but-2-enyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- $C_1$ - $C_4$  alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

$R_C$  is hydrogen, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, such as dimethylaminomethyl, piperidino- $C_1$ - $C_4$  alkyl, such as piperidinomethyl, pyrrolidino- $C_1$ - $C_4$  alkyl, such as pyrrolidinomethyl, morpholino- $C_1$ - $C_4$  alkyl, such as morpholinomethyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl, or  $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-methylpiperazinomethyl, morpholino,  $C_1$ - $C_4$  alkoxy, such as methoxy, morpholino- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino- $C_1$ - $C_4$  alkylcarbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino- $C_1$ - $C_4$  alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl,  $C_1$ - $C_4$  alkylcarbamoyl, such as methylcarbamoyl, carboxy- $C_1$ - $C_4$  alkoxy, such as carboxymethoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as

3-dimethylaminopropoxy, C<sub>1</sub>-C<sub>7</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as tetrazol-5-ylmethoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

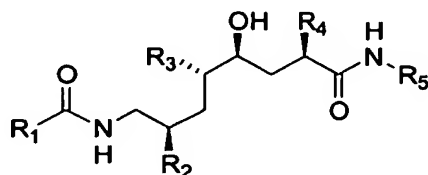
5 R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl or isopropyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, such as butyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-  
10 C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-  
15 dimethylcarbamoylethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl.

20  
23. A method of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for  
25 treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid  
30 angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear  
35 palsy, dementia associated with cortical basal degeneration, or

diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I-A), or a pharmaceutically acceptable salt thereof:



(I-A)

wherein R<sub>1</sub> is a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical or a 3-R<sub>A</sub>-pyridin-2-yl radical, wherein

R<sub>A</sub> is C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, such as propyloxymethyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, such as propyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, such as 4-methoxy-but-2-enyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub> C<sub>4</sub> alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R<sub>C</sub> is hydrogen, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as dimethylaminomethyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as piperidinomethyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as pyrrolidinomethyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as morpholinomethyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl, or C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, morpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy, such as methoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy,

such as carboxymethoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropoxy, C<sub>1</sub>-C<sub>7</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as tetrazol-5-ylmethoxy,

5 X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl or isopropyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, such as butyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
10 such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-methylcarbamoyl-2-  
15 methyl-ethyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-dimethylcarbamoylethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-  
20 C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl.

24. A method according to either claim 22 or 23, wherein the compound is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-  
25 isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

30 (2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

35 (2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R, 4S, 5S, 7R) -1- (2-Methoxyethyl) -1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

(2R, 4S, 5S, 7R) -1-Pyridin-2-yl-1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

(2R, 4S, 5S, 7R) -1- (2-Methoxybenzyl) -1H-indole-3-carboxylic acid N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -amide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl) -2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-propoxy-benzamide;

(2S, 4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (2-methoxyethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (2-methoxyethoxy) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-methoxy-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-methoxy-3- (3-methoxypropoxy) -benzamide;

(4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (propoxymethyl) -benzamide;

(4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-acetamido-benzamide;



(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(acetamido)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybut-2-enoxy)-benzamide;

5 (2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

10 (2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-hydroxy-benzamide;

15 (2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(methoxymethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-benzamide;

20 (2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(2-methoxyethoxy)-benzamide;

25 (2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

30 (2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

35

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -4-methyl-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl) -methyl-nonyl] -2- (5-methoxypentyloxy) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (morpholin-4-ylmethyl) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl-2- (4-methoxybutoxy) -4- [2- (morpholin-4-yl) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4- [3- (dimethylamino) -propoxy] -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (piperidin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (pyrrolidin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (2-piperidin-1-ylethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-dimethylaminomethyl-2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (4-methylpiperazin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-(4-acetylpiperazin-1-yl)methyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-aminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-aminoethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(4-acetylpiperazin-1-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-dimethylaminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[3-(morpholin-4-yl)-propoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-methoxypiperidin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-acetylpiperazin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[2-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[3-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(4-methoxybutoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(5-methoxypentyloxy)-benzyl]amide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-methyl-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-[(2-morpholin-4-yl)-ethyl]-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-3-(4-methoxybutoxy)-terephthalmic acid;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-butylcarbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-[4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl)-3-(4-methoxybutoxy)-phenoxy]-acetic acid;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S,4S,5S,7S,2R')-N-[4-Amino-7-(2'-methylcarbamoyl-propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{7-[2-(4-Acetylpiperidin-1-yl)-ethylcarbamoyl]-4-amino-5-hydroxy-2-isopropyl-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(2-morpholin-4-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7R)-N-[4-Amino-5-hydroxy-2-methyl-7-[(2-morpholin-4-ylethyl)-carbamoyl]-octyl]-2-(3-methoxypropoxy)-benzamide; and

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or a pharmaceutically acceptable salt thereof.

25. A method according to claim 5, wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical, wherein

one of the radicals R<sub>A</sub> and R<sub>B</sub> is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically,

araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or  
5 aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,  
one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is  
10 methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or  
15 an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

20 26. The method according to claim 25, wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is lower alkyl,  
25 hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl; an amino-lower alkyl or amino-lower alkoxy radical that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-,  
30 lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; hydroxy, lower alkoxy, hydroxy-  
35 lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower

alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy, unsubstituted or substituted phenyl- or pyridyl-lower alkoxy, lower alkoxy-lower alkenyloxy, optionally S-oxidised lower alkylthio-lower alkoxy, or amino-  
5 lower alkoxy that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or  
10 N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; and the other is hydrogen, lower alkyl, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R<sub>c</sub> is hydrogen, lower alkyl, hydroxy, lower alkoxy,  
15 hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, lower alkoxy-lower alkoxy-lower alkyl; an amino, amino-lower alkyl or amino-lower alkoxy group that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower  
20 alkylene, hydroxy-, lower alkoxy-, lower alkoxy-carbonyl- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised  
25 thia-lower alkylene; or a free or amidated carboxy or carboxy-lower alkoxy group or tetrazolyl-lower alkoxy, and

R<sub>d</sub> is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, a free or amidated carboxy or carboxy-  
30 lower alkyl group or an unsubstituted or substituted phenyl- or pyridyl-lower alkyl group, one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is lower alkyl,

R<sub>3</sub> is unsubstituted or N-lower alkanoylated or N-mono- or  
35 N, N-di-lower alkylated amino,

R<sub>4</sub> is lower alkyl or phenyl-lower alkyl, and

R<sub>5</sub> is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; amino-lower alkyl that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxy-lower alkyl- or lower alkanoyloxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; free or esterified or amidated carboxy-lower alkyl, cyano-lower alkyl, free or esterified or amidated dicarboxy-lower alkyl, free or esterified or amidated carboxy(hydroxy)-lower alkyl, free or esterified or amidated carboxycycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated thio carbamoyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated sulfamoyl-lower alkyl or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or lower alkyl substituted by an optionally hydrogenated and/or oxo-substituted heteroaryl radical that is bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

27. A method according to claim 25 wherein,

R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical, wherein

one of the radicals R<sub>A</sub> and R<sub>B</sub> is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower



alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy; phenyl- or pyridyl-lower alkoxy that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl; lower alkoxy-lower alkenyloxy, lower alkylthio-lower alkoxy, lower alkanesulfinyl-lower alkoxy, lower alkanesulfonyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy or optionally S-oxidised thiomorpholino-lower alkoxy, and the other is hydrogen, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R<sub>C</sub> is hydrogen, lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is

unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, di-lower alkylamino; a piperidino or pyrrolidino group that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino, optionally S-oxidised thiomorpholino, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, carboxy-lower alkoxy, carbamoyl-lower alkoxy, lower alkylcarbamoyl-lower alkoxy, di-lower alkylcarbamoyl-lower alkoxy; piperidino- or pyrrolidino-carbonyl-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkoxy, optionally S-oxidised thiomorpholinocarbonyl-lower alkoxy, tetrazolyl-lower alkoxy, carboxy, carbamoyl, lower alkylcarbamoyl or di-lower alkylcarbamoyl, and R<sub>p</sub> is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower

alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, carboxy, lower alkoxycarbonyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl;  
5 piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower  
10 alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-carbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl or a phenyl- or pyridyl-lower alkyl group that is unsubstituted or substituted by lower alkyl, lower alkoxy,  
15 hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is lower alkyl,

20  $R_3$  is amino, lower alkanoylamino, lower alkylamino or di-lower alkylamino,

$R_4$  is lower alkyl or phenyl-lower alkyl and

$R_5$  is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl;  
25 piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower  
30 alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or  
35 pyrrolidinocarbonyl-lower alkyl that is unsubstituted or

substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl;

5 unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, cyano-lower alkyl, dicarboxy-lower alkyl, lower alkoxy-carbonyl(carbonyl)-lower alkyl, di-lower alkoxy-carbonyl-lower alkyl, dicarbamoyl-lower alkyl, carbamoyl(carboxy)-lower  
10 alkyl, di-(lower alkylcarbamoyl)-lower alkyl, di-(di-lower alkylcarbamoyl)-lower alkyl, carboxy(hydroxy)-lower alkyl, lower alkoxy-carbonyl(hydroxy)-lower alkyl, carbamoyl(hydroxy)-lower alkyl, lower alkylcarbamoyl(hydroxy)-lower alkyl or di-lower alkylcarbamoyl(hydroxy)-lower alkyl, carboxycycloalkyl-lower  
15 alkyl, lower alkoxy-carbonylcycloalkyl-lower alkyl, carbamoylcycloalkyl-lower alkyl, lower alkylcarbamoylcycloalkyl-lower alkyl, di-lower alkylcarbamoylcycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, thiocarbamoyl-lower alkyl, N-lower alkylthiocarbamoyl-lower alkyl or N,N-di-lower  
20 alkylthiocarbamoyl-lower alkyl, sulfamoyl-lower alkyl, lower alkylsulfamoyl-lower alkyl or di-lower alkylsulfamoyl-lower alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl  
25 or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-lower alkyl, imidazolyl-lower alkyl, benzimidazolyl-lower alkyl, oxadiazolyl-lower alkyl, pyridyl-lower alkyl, oxopiperidinyl-lower alkyl, dioxopiperidinyl-lower alkyl, oxothiazolyl-lower alkyl, oxo-oxazolinyl-lower alkyl or quinolinyl-lower alkyl,  
30 morpholinocarbonyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

35 28. A method according to claim 25 wherein,

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is  $C_1$ - $C_4$  alkyl, hydroxy-  
5  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkanoyloxy- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, amino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkanoylamino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, di-  
10  $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, piperidino- $C_1$ - $C_4$ -alkyl, hydroxypiperidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxypiperidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$ -alkoxypiperidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$ -alkoxypiperidino- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, pyrrolidino- $C_1$ - $C_4$  alkyl, hydroxypyrrolidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxypyrrolidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxypyrrolidino- $C_1$ - $C_4$  alkyl, piperazino- $C_1$ - $C_4$  alkyl, N'- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, N'-  
15  $C_1$ - $C_4$ -alkanoylpiperazino- $C_1$ - $C_4$  alkyl, N'- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, morpholino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkylmorpholino- $C_1$ - $C_4$  alkyl, thiomorpholino- $C_1$ - $C_4$  alkyl, S-oxythiomorpholino- $C_1$ - $C_4$  alkyl, S,S-dioxythiomorpholino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy, amino- $C_1$ - $C_7$  alkoxy,  $C_1$ - $C_4$  alkanoylamino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, piperidino- $C_1$ - $C_4$  alkoxy, hydroxypiperidino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxypiperidino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$ -alkoxypiperidino- $C_1$ - $C_4$  alkoxy, pyrrolidino- $C_1$ - $C_4$  alkoxy, hydroxypyrrolidino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$ -alkoxypyrrolidino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxypyrrolidino- $C_1$ - $C_4$  alkoxy, piperazino- $C_1$ - $C_4$  alkoxy, N'- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkoxy, N'- $C_1$ - $C_4$  alkanoylpiperazino- $C_1$ - $C_4$  alkoxy, N'- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkoxy, morpholino- $C_1$ - $C_4$  alkoxy or  $C_1$ - $C_4$  alkylmorpholino- $C_1$ - $C_4$  alkoxy, thiomorpholino- $C_1$ - $C_4$  alkoxy, S-oxythiomorpholino- $C_1$ - $C_4$  alkoxy, S,S-dioxythiomorpholino- $C_1$ - $C_4$  alkoxy, hydroxy, hydroxy- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkanoyloxy- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, polyhalo- $C_1$ - $C_4$  alkoxy, cyano- $C_1$ -  
35

C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-carbamoylethoxy; phenyl- or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkoxy that is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by

5 trifluoromethyl; C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkylthio-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfinyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,

10 hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, and the other is hydrogen, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkyl,

20 hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy or trihalo-C<sub>1</sub>-C<sub>4</sub> alkoxy, R<sub>C</sub> is hydrogen, hydroxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, piperidino, pyrrolidino, morpholino, thiomorpholino, S-oxythiomorpholino, S,S-dioxythiomorpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy,

25 C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl; piperidino- or pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or substituted by hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy or by C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl; amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>

30 alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl,

35 hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub>

alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-  
C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
5 alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-  
oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub>  
alkyl, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
10 piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub>  
alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
15 piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub>  
alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-  
20 oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub>  
alkoxy, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub>-alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-  
dimethylaminopropoxy, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
25 hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
alkoxy, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
alkoxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
30 alkoxy-pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxyl, N'-C<sub>1</sub>-C<sub>4</sub>  
alkoxycarbonylpiperazinocarbonyl or N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
35 alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylmorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy,

thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholinocarbonyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl or C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, and

5           R<sub>D</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or is phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino, C<sub>1</sub>-C<sub>4</sub> alkanoylamino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl, and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-



C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkyl piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoyl piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl piperazinocarbonyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, cyano-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl (carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl (carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-(C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-(di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl)-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl or di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxycycloalkyl-C<sub>1</sub>-C<sub>4</sub>

alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
carbamoylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoylcycloalkyl-  
C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkanesulfonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, thiocarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N-C<sub>1</sub>-C<sub>4</sub>  
5 alkylthiocarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl or N,N-di-C<sub>1</sub>-C<sub>4</sub>  
alkylthiocarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, sulfamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkylsulfamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl or di-C<sub>1</sub>-C<sub>4</sub> alkylsulfamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl,  
benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl,  
10 dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl,  
unsubstituted or oxo-substituted pyrrolidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
imidazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, benzimidazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxadiazolyl-  
C<sub>1</sub>-C<sub>4</sub> alkyl, pyridyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxopiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
dioxopiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxothiazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxo-  
15 oxazolinyl-C<sub>1</sub>-C<sub>4</sub> alkyl or quinolinyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl or unsubstituted or N-C<sub>1</sub>-C<sub>4</sub>  
alkanoylated piperidyl-C<sub>1</sub>-C<sub>4</sub> alkyl or unsubstituted or N-C<sub>1</sub>-C<sub>4</sub>  
alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

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29. A method according to claim 25, wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical,  
a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-  
R<sub>D</sub>-indol-3-yl radical, wherein

25 one of the radicals R<sub>A</sub> and R<sub>B</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-  
C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylpiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-  
30 C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-  
C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-  
C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
hydroxy, C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-  
35 C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, amino-C<sub>1</sub>-C<sub>4</sub>

alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl or carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, and the other is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl, hydroxy or C<sub>1</sub>-C<sub>4</sub> alkoxy,

5 R<sub>C</sub> is hydrogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-  
10 C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub>  
15 alkoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, and

R<sub>D</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>  
20 alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl,

one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl,

25 R<sub>3</sub> is amino or C<sub>1</sub>-C<sub>4</sub> alkanoylamino,

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
30 alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
35 piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-

C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,

or a pharmaceutically acceptable salt thereof.

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30. A method according to claim 23, wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical or a 3-R<sub>A</sub>-pyridin-2-yl radical, wherein

R<sub>A</sub> is C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or carbamoyl, and

R<sub>C</sub> is hydrogen, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>7</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or tetrazolyl-C<sub>1</sub>-C<sub>7</sub> alkoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl,

or a pharmaceutically acceptable salt thereof.